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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27	Oct 21	EVENTLINE has been reloaded
NEWS 28	Oct 24	BEILSTEIN adds new search fields
NEWS 29	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 31	Nov 18	DKILIT has been renamed APOLLIT
NEWS 32	Nov 25	More calculated properties added to REGISTRY
NEWS 33	Dec 02	TIBKAT will be removed from STN
NEWS 34	Dec 04	CSA files on STN
NEWS 35	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36	Dec 17	TOXCENTER enhanced with additional content
NEWS 37	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 38	Dec 30	ISMEC no longer available
NEWS 39	Jan 13	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40	Jan 21	NUTRACEUT offering one free connect hour in February 2003
NEWS 41	Jan 21	PHARMAML offering one free connect hour in February 2003
NEWS 42	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 43	Feb 13	CANCERLIT is no longer being updated
NEWS 44	Feb 24	METADEX enhancements
NEWS 45	Feb 24	PCTGEN now available on STN

NEWS 46 Feb 24 TEMA now available on STN
NEWS 47 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 48 Feb 26 PCTFULL now contains images

NEWS EXPRESS January 6 CURRENT WINDOWS VERSION IS V6.01a,
CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:28:39 ON 03 MAR 2003

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 07:28:47 ON 03 MAR 2003
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STRUCTURE FILE UPDATES: 28 FEB 2003 HIGHEST RN 496269-39-7
DICTIONARY FILE UPDATES: 28 FEB 2003 HIGHEST RN 496269-39-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10007235b.str

L1 STRUCTURE UPLOADED

```
=> d
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 07:29:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1538 TO ITERATE

 65.0% PROCESSED    1000 ITERATIONS                                  0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        28408 TO    33112
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 07:29:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  29427 TO ITERATE

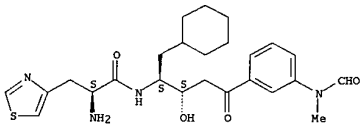
100.0% PROCESSED   29427 ITERATIONS                                7 ANSWERS
SEARCH TIME: 00.00.02

L3            7 SEA SSS FUL L1

=> d scan
```

L3 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Formamide, N-[3-[4-[[2-amino-1-oxo-3-(4-thiazolyl)propyl]amino]-5-cyclohexyl-2,4,5-trideoxy-L-threo-pentonoyl]phenyl]-N-methyl-, (S)- (9CI)
MF C25 H34 N4 O4 S

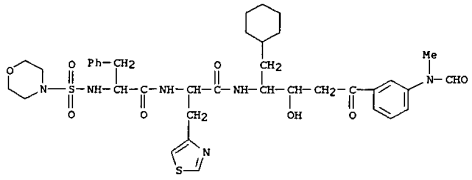
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):6

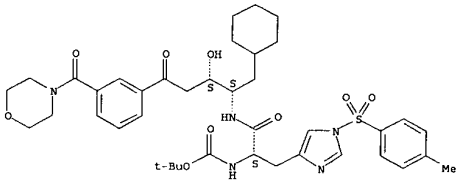
L3 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Formamide, N-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-(4-morpholinylsulfonyl)-L-phenylalanyl]-3-(4-thiazolyl)-L-alanyl]amino]-L-threo-pentonoyl]phenyl]-N-methyl- (9CI)
MF C38 H50 N6 O8 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

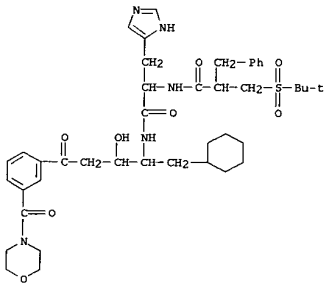
L3 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Morpholine, 4-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]-1-oxopropyl]amino]-L-threo-pentonoyl]benzoyl]-, (S)- (9CI)
MF C40 H53 N5 O9 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

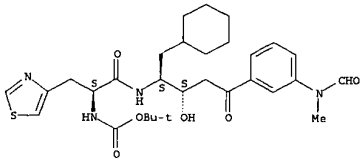
L3 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Morpholine, 4-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-L-threo-pentonoyl]benzoyl]-, [S-(R*,R*)]- (9CI)
MF C42 H57 N5 O8 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Formamide, N-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-(4-thiazolyl)propyl]amino]-L-threo-pentonoyl]phenyl]-N-methyl-, (S)- (9CI)
MF C30 H42 N4 O6 S

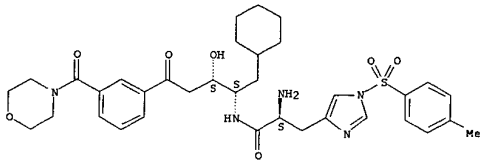
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Morpholine, 4-[3-[4-[[2-amino-3-[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]-1-oxopropyl]amino]-5-cyclohexyl-2,4,5-trideoxy-L-threo-pentonoyl]benzoyl]-, (S)- (9CI)
MF C35 H45 N5 O7 S

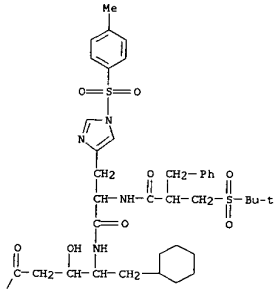
Absolute stereochemistry.



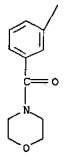
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Morpholine, 4-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-3-[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]-1-oxopropyl]amino]-L-threo-pentonoyl]benzoyl]-, [S-(R*,R*)]- (9CI)
MF C49 H63 N5 O10 S2

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.15     148.36

FILE 'CAPLUS' ENTERED AT 07:29:38 ON 03 MAR 2003
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FILE COVERS 1907 - 3 Mar 2003  VOL 138 ISS 10
FILE LAST UPDATED: 2 Mar 2003  (20030302/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4            1 L3

=> d ibib abs hitstr
```

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:449265 CAPLUS
DOCUMENT NUMBER: 117:49265
TITLE: Preparation of dipeptide renin inhibitors
INVENTOR(S): Toyoda, Tatsuo; Fujioka, Toshihiro; Hayashi, Kunio; Nakamura, Masuhisa; Hashimoto, Naofumi
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 468641	A2	19920129	EP 1991-305763	19910626
EP 468641	A3	19930113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2045008	AA	19911229	CA 1991-2045008	19910619
US 5194608	A	19930316	US 1991-719492	19910624
AU 9179304	A1	19920102	AU 1991-79304	19910626
AU 643036	B2	19931104		
HU 58346	A2	19920228	HU 1991-2166	19910627
JP 05009162	A2	19930119	JP 1991-156764	19910627
JP 2997095	B2	20000111		
US 5223615	A	19930629	US 1992-974212	19921110
US 5272268	A	19931221	US 1992-974211	19921110
AU 9344890	A1	19931125	AU 1993-44890	19930826
AU 653682	B2	19941006		

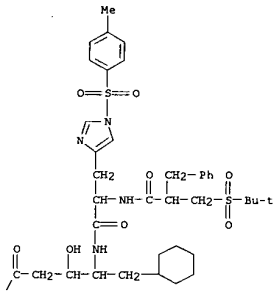
PRIORITY APPLN. INFO.: JP 1990-172050 A 19900628
US 1991-719492 A3 19910624
OTHER SOURCE(S): MARPAT 117:49265
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

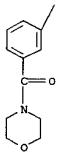
AB Title compds. [I; R1 = (substituted) (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl; R2 = (substituted) carbamoyl, acyl, heterocyclyl, alkyl, alkylthiomethyl, alkylthio; R3 = (substituted) aryl, 5- to 6-membered heterocyclyl; R4 = R5SO2, R5CO; R5 = (substituted) aryl, (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl; X = CH2, NH, O, S; Y = CO, NHSO2], were prepd. Thus, N-(tert-butoxycarbonyl)cyclohexylalaninal was condensed with 4-acetylpyridine using NaN(SiMe3)2 and 15-crown-5 in THF to give a mixt. of aldol condensation epimers, which was treated with H2C:C(Me)OMe and p-MeC6H4SO3H to give oxazolidine II (BOC = Me3CO2C). This was successively reduced with NaBH4, deketalized with HCl or CF3CO2H, coupled with BOC-His(Tos)-OH (Tos = tosyl), and oxidized with MnO2 to give intermediate III. III was N-deprotected with CF3CO2H, acylated with 3-tert-butylsulfonyl-2S-phenylpropionic acid, and N'-deprotected with pyridinium hydrochloride to give title compd. IV. I at 15 mg/kg orally in monkeys pretreated with furosemide gave 33-99% inhibition of renin. Several I at 1-100 mg/kg orally or i.v. effectively reduced blood pressure in monkeys.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS (Continued)
dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-3-[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]-1-oxopropyl]amino]-L-threo-pentonoyl]benzoyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



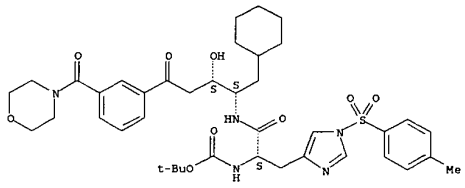
PAGE 2-A



RN 141578-42-9 CAPLUS
CN Formamide, N-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-(4-morpholinylsulfonyl)-L-phenylalanyl]-3-(4-thiazolyl)-L-alanyl]amino]-L-threo-pentonoyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

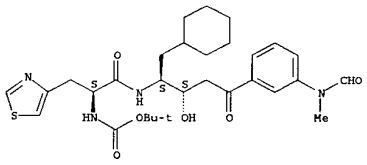
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS (Continued)
IT **141597-33-3P 141597-38-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for peptide renin inhibitor)
RN 141597-33-3 CAPLUS
CN Morpholine, 4-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]-1-oxopropyl]amino]-L-threo-pentonoyl]benzoyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



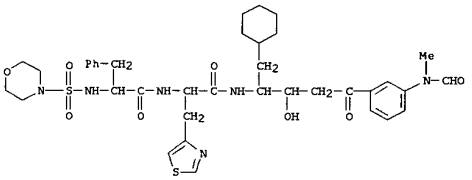
RN 141597-38-8 CAPLUS
CN Formamide, N-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-(4-thiazolyl)propyl]amino]-L-threo-pentonoyl]phenyl]-N-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

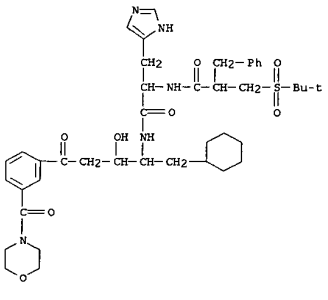


IT **141578-33-8P 141578-42-9P 141578-63-4P**
141578-90-7P 141578-99-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as renin inhibitor)
RN 141578-33-8 CAPLUS
CN Morpholine, 4-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[2-[[(1,1-

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS (Continued)



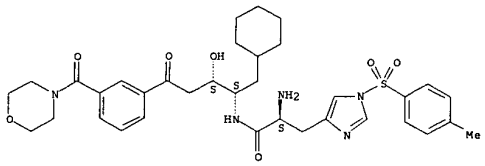
RN 141578-63-4 CAPLUS
CN Morpholine, 4-[3-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-L-threo-pentonoyl]benzoyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 141578-90-7 CAPLUS
CN Morpholine, 4-[3-[4-[[2-amino-3-[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]-1-oxopropyl]amino]-5-cyclohexyl-2,4,5-trideoxy-L-threo-pentonoyl]benzoyl]-, (S)- (9CI) (CA INDEX NAME)

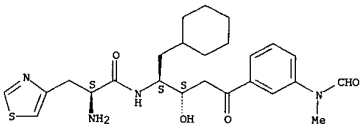
Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141578-99-6 CAPLUS
CN Formamide, N-[3-[4-[[2-amino-1-oxo-3-(4-thiazolyl)propyl]amino]-5-cyclohexyl-2,4,5-trideoxy-L-threo-pentonoyl]phenyl]-N-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     5.79     154.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -0.65      -0.65

FILE 'REGISTRY' ENTERED AT 07:31:26 ON 03 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   28 FEB 2003  HIGHEST RN 496269-39-7
DICTIONARY FILE UPDATES:  28 FEB 2003  HIGHEST RN 496269-39-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10007235b.str

L5      STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l5
SAMPLE SEARCH INITIATED 07:31:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  18750 TO ITERATE

  5.3% PROCESSED    1000 ITERATIONS                          0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       366817 TO   383183
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PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s l5 full
FULL SEARCH INITIATED 07:31:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 369190 TO ITERATE

99.3% PROCESSED 366467 ITERATIONS 107 ANSWERS

100.0% PROCESSED 369190 ITERATIONS 107 ANSWERS
SEARCH TIME: 00.00.20

L7 107 SEA SSS FUL L5

=> fil calplus
'CALPLUS' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'
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=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.55	302.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.65

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FILE COVERS 1907 - 3 Mar 2003 VOL 138 ISS 10
FILE LAST UPDATED: 2 Mar 2003 (20030302/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 40 L7

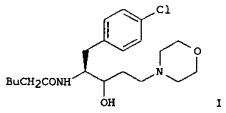
```
=> s l8 and aspartyl protease
          4501 ASPARTYL
             5 ASPARTYLS
          4504 ASPARTYL
                 (ASPARTYL OR ASPARTYLS)
         73802 PROTEASE
         26974 PROTEASES
         85915 PROTEASE
                 (PROTEASE OR PROTEASES)
           511 ASPARTYL PROTEASE
                 (ASPARTYL(W)PROTEASE)
L9           2 L8 AND ASPARTYL PROTEASE

=> d ibib abs hitstr 1-2
```

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:708752 CAPLUS
DOCUMENT NUMBER: 131:322921
TITLE: Preparation of hydroxypropylamide peptidomimetics as inhibitors of **aspartyl proteases**
INVENTOR(S): Dolle, Roland Ellwood, III; Cavallaro, Cullen Lee; Herpin, Timothee Felix
PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955687	A2	19991104	WO 1999-US9070	19990427
WO 9955687	A3	20000224		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5986102	A	19991116	US 1998-69380	19980429
AU 9938684	A1	19991116	AU 1999-38684	19990427
US 6191277	B1	20010220	US 1999-408237	1999092

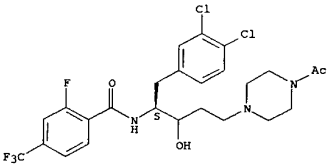
PRIORITY APPLN. INFO.: US 1998-69380 A 19980429
WO 1999-US9070 W 19990427
OTHER SOURCE(S): MARPAT 131:322921
GI



I

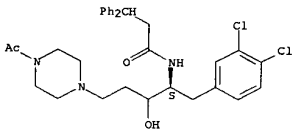
AB Compds. Z-NR2CHR1CH(OH)CH2CH2-Y [R1 = alkyl, -(CH2)n-cycloalkyl (n = 1-3), aralkyl; R2 = H or [S]-CO-L-, where [S] is a solid support and -L- is a linker; Y = O2CNHR3 or NR4R5, where R3 is alkyl, aralkyl, aryl, or aryloxyalkyl and R4 and R5 are independently H, alkoxyalkyl, R3, COR3, SO2R3, 2-indanyl(CH2)m (m = 0-3) or R4R5N is morpholino or N-substituted 1-piperazinyl; Z = COR7, COCHR8O2CNHR3, COCHR8NHCOR3, where R7 is alkyl, aralkyl, aryl, -(CH2)m-cycloalkyl, heteroaryl, 1-(carboxy

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)



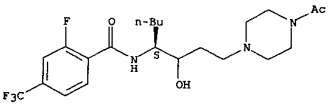
RN 248596-62-5 CAPLUS
CN D-glycero-Pentitol, 5-(4-acetyl-1-piperazinyl)-1,2,4,5-tetradeoxy-1-(3,4-dichlorophenyl)-2-[(1-oxo-3,3-diphenylpropyl)amino]-, (3.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 248596-63-6 CAPLUS
CN Benzamide, N-[(1S)-1-[3-(4-acetyl-1-piperazinyl)-1-hydroxypropyl]pentyl]-2-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 248596-64-7 CAPLUS
CN Butanamide, N-[(1S)-4-(4-acetyl-1-piperazinyl)-2-hydroxy-1-(2-phenylethyl)butyl]-3-methyl-2-[[(phenylamino)carbonyl]oxy]-, (2S)- (9CI) (CA INDEX NAME)

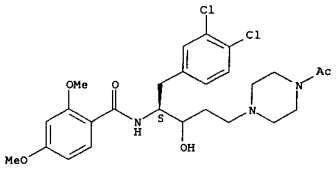
Absolute stereochemistry.

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)
ester)-2-pyrrolidinyl, 2-indanyl-(CH2)n and R8 = H, alkyl, aralkyl, -(CH2)m-cycloalkyl] were prepd. as inhibitors having activity against the **aspartyl proteases** plasmepsin and cathepsin D. Thus, compd. I was prepd. by the solid-phase method and shown to inhibit plasmepsin or cathepsin D at a concn. (IC50) of less than 350 micromolar.

IT **248596-60-3P 248596-61-4P 248596-62-5P**
248596-63-6P 248596-64-7P 248596-65-8P
248596-66-9P 248596-67-0P 248596-68-1P
248596-69-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxypropylamide peptidomimetics as inhibitors of **aspartyl proteases**)

RN 248596-60-3 CAPLUS
CN D-glycero-Pentitol, 5-(4-acetyl-1-piperazinyl)-1,2,4,5-tetradeoxy-1-(3,4-dichlorophenyl)-2-[(2,4-dimethoxybenzoyl)amino]-, (3.xi.)- (9CI) (CA INDEX NAME)

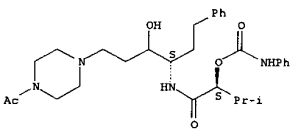
Absolute stereochemistry.



RN 248596-61-4 CAPLUS
CN D-glycero-Pentitol, 5-(4-acetyl-1-piperazinyl)-1,2,4,5-tetradeoxy-1-(3,4-dichlorophenyl)-2-[[2-fluoro-4-(trifluoromethyl)benzoyl]amino]-, (3.xi.)-(9CI) (CA INDEX NAME)

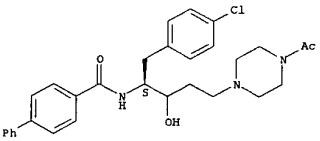
Absolute stereochemistry.

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)



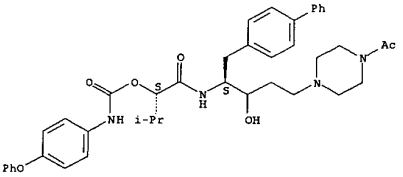
RN 248596-65-8 CAPLUS
CN D-glycero-Pentitol, 5-(4-acetyl-1-piperazinyl)-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-1-(4-chlorophenyl)-1,2,4,5-tetradeoxy-, (3.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 248596-66-9 CAPLUS
CN D-glycero-Pentitol, 5-(4-acetyl-1-piperazinyl)-1-[1,1'-biphenyl]-4-yl-1,2,4,5-tetradeoxy-2-[[(2S)-3-methyl-1-oxo-2-[[[(4-phenoxyphenyl)amino]carbonyl]oxy]butyl]amino]-, (3.xi.)- (9CI) (CA INDEX NAME)

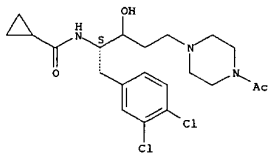
Absolute stereochemistry.



RN 248596-67-0 CAPLUS
CN D-glycero-Pentitol, 5-(4-acetyl-1-piperazinyl)-2-[(cyclopropylcarbonyl)amino]-1,2,4,5-tetradeoxy-1-(3,4-dichlorophenyl)-,

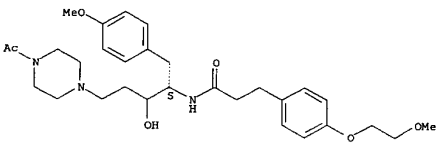
L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)
(3.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



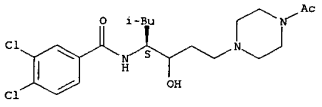
RN 248596-68-1 CAPLUS
CN D-glycero-Pentitol, 5-(4-acetyl-1-piperazinyl)-1,2,4,5-tetradeoxy-2-[[3-[4-(2-methoxyethoxy)phenyl]-1-oxopropyl]amino]-1-(4-methoxyphenyl)-, (3.xi.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

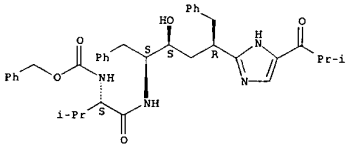


RN 248596-69-2 CAPLUS
CN Benzamide, N-[(1S)-4-(4-acetyl-1-piperazinyl)-2-hydroxy-1-(2-methylpropyl)butyl]-3,4-dichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)



L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:513518 CAPLUS
DOCUMENT NUMBER: 125:157755
TITLE: A Novel Bicyclic Enzyme Inhibitor as a Consensus Peptido-Mimetic for the Receptor-Bound Conformations of Twelve Peptidic Inhibitors of HIV-1 Protease
AUTHOR(S): Reid, Robert C.; March, Darren R.; Dooley, Michael J.; Bergman, Doug A.; Abbenante, Giovanni; Fairlie, David P.
CORPORATE SOURCE: Centre for Drug Design and Development, University of Queensland, Brisbane, 4072, Australia
SOURCE: Journal of the American Chemical Society (1996), 118(36), 8511-8517
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The X-ray crystal structures of 12 substrate-based peptidic inhibitors bound in the active site of the **aspartyl proteases**, HIV-1 protease, have been compared. The inhibitor-binding modes of these inhibitors are remarkably similar despite their structural diversity and conformational flexibility. This prompted the design of a bicyclic peptidomimetic inhibitor with macrocyclic components in constrained conformations that are preorganized for receptor-binding. This inhibitor is a consensus conformational mimic of the protease-bound inhibitor structures with superior properties to peptides, including stability to acid and peptidases as well as antiviral activity. Each of the 15- and 16-membered rings, formed through side-chain to backbone condensation, contains two proteolytically resistant amide bonds and either isoleucine or valine linked via a short aliph. spacer to tyrosine. The two cycles are connected by a hydroxyethylamine transition state isostere. Mol. modeling and NMR studies indicate that each macrocycle is a highly constrained structural mimic of tripeptide components of linear peptide substrates/inhibitors of HIV-1 protease. Thus the bicyclic peptidomimetic superimposes upon and structurally mimics acyclic hexapeptide inhibitors and their analogs. This results in functional mimicry, as demonstrated by comparable inhibition of HIV-1 protease by acyclic and cyclic mols. at nanomolar concns. The rational design of cycles which fix receptor-bound conformations of bioactive peptides has potential applications in the structural mimicry of other bioactive peptides and may facilitate rational drug design.

IT **150348-92-8**, SB 206343
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bicyclic enzyme inhibitor as consensus peptido-mimetic for receptor-bound conformations of peptidic inhibitors of HIV-1 protease)

RN 150348-92-8 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2S,4R)-2-hydroxy-4-[4-(2-methyl-1-oxopropyl)-1H-imidazol-2-yl]-5-phenyl-1-(phenylmethyl)pentyl]amino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	13.77	316.47

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.30	-1.95

STN INTERNATIONAL LOGOFF AT 07:34:18 ON 03 MAR 2003

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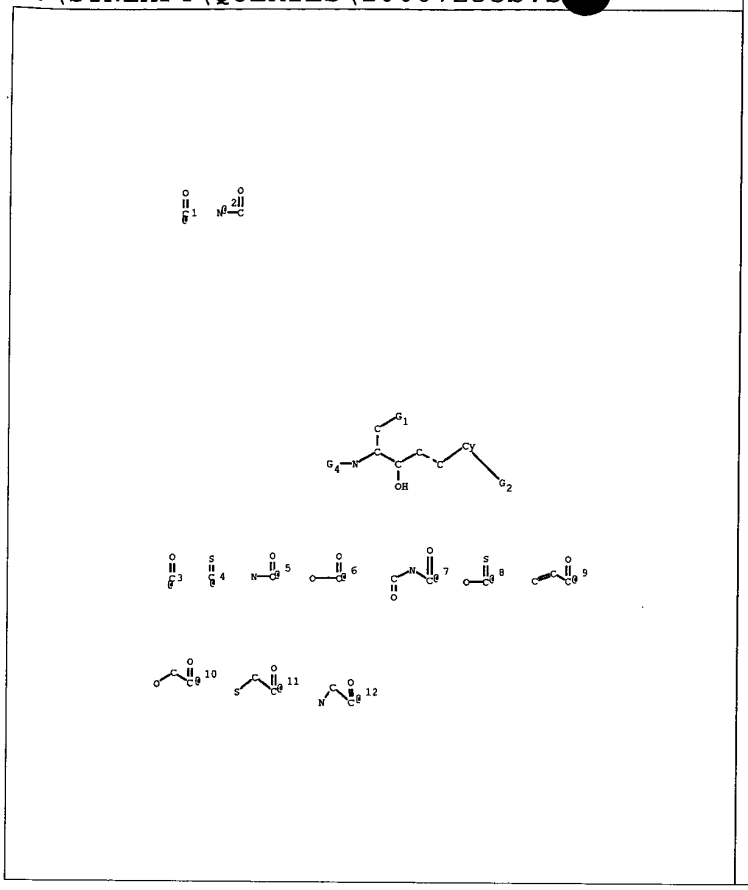

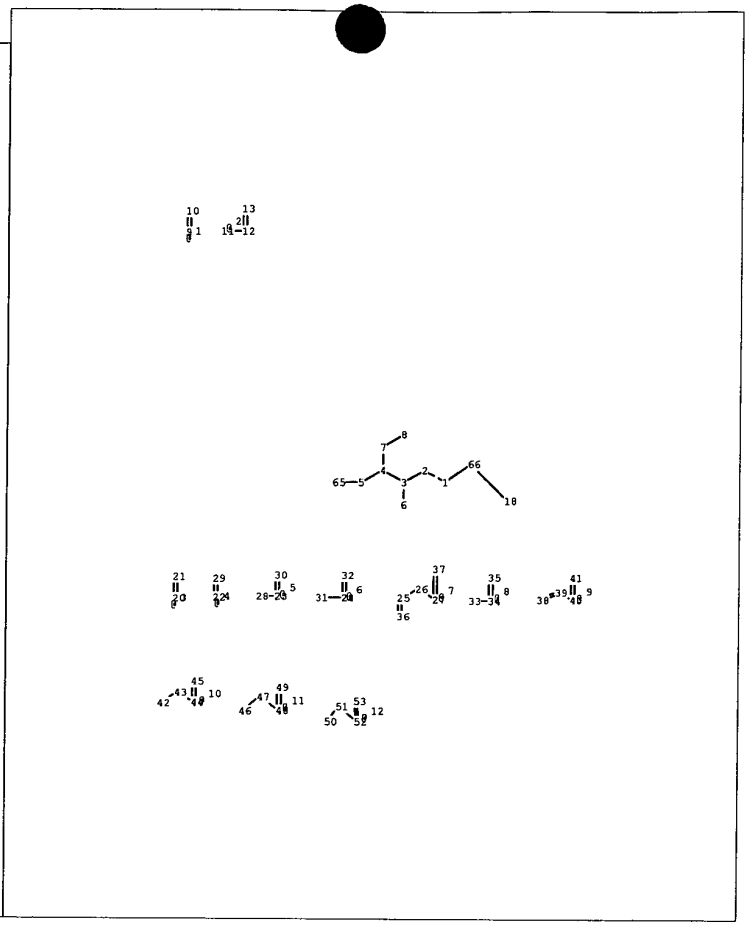

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27 28 29 30 31 32 33 34 35 36 37 38 39 40 41 42 43 44
45 46 47 48 49 50 51 52 53 65 66
chain bonds :
1-2 1-66 2-3 3-4 3-6 4-5 4-7 5-65 7-8 9-10 11-12 12-13 18-66
20-21 22-29 23-28 23-30 24-31 24-32 25-26 25-36 26-27 27-37
33-34 34-35 38-39 39-40 40-41 42-43 43-44 44-45 46-47 47-48
48-49 50-51 51-52 52-53
exact/norm bonds :
1-2 1-66 3-6 4-5 5-65 7-8 9-10 11-12 12-13 18-66 20-21 22-29
23-28 23-30 24-31 24-32 25-26 25-36 26-27 27-37 33-34 34-35
40-41 42-43 44-45 46-47 48-49 50-51 52-53
exact bonds :
2-3 3-4 4-7 38-39 39-40 43-44 47-48 51-52

G1:Cb,Ak

G2:[*1],[*2]

G3:N,Ak

G4:[*3],[*4],[*5],[*6],[*7],[*8],[*9],[*10],[*11],[*12]

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS
9:CLASS

10:CLASS	11:CLAS	12:CLASS	13:CLASS	18:CL	S	20:CLASS
21:CLASS	22:CLASS	23:CLASS	24:CLASS	25:CLASS	26:CLASS	27:CLASS
28:CLASS	29:CLASS	30:CLASS	31:CLASS	32:CLASS	33:CLASS	34:CLASS
35:CLASS	36:CLASS	37:CLASS	38:CLASS	39:CLASS	40:CLASS	41:CLASS
42:CLASS	43:CLASS	44:CLASS	45:CLASS	46:CLASS	47:CLASS	48:CLASS
49:CLASS	50:CLASS	51:CLASS	52:CLASS	53:CLASS	65:CLASS	66:Atom